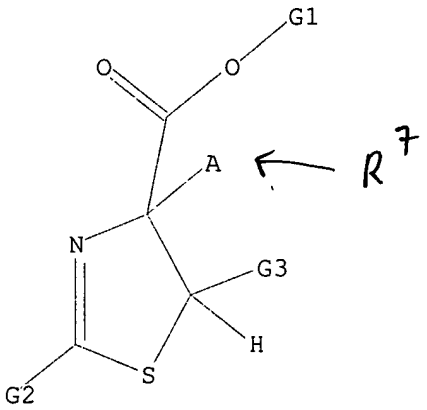
STN Registry/CAPLUS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

Claims 14-17



G1 C,Si

G2 Cb,Ak

G3 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:46:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 72 TO ITERATE

100.0% PROCESSED 72 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 931 TO 1949
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 13:46:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1594 TO ITERATE

100.0% PROCESSED 1594 ITERATIONS 90 ANSWERS
SEARCH TIME: 00.00.01

L3 90 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.78

FILE 'CAPLUS' ENTERED AT 13:46:59 ON 17 AUG 2006

FILE COVERS 1907 - 17 Aug 2006 VOL 145 ISS 8
FILE LAST UPDATED: 16 Aug 2006 (20060816/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 41 L3

=> d ibib abs hitstr 1-41

L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:365240 CAPLUS
DOCUMENT NUMBER: 144:412505
TITLE: Benzimidazole or indole amides as inhibitors of pin1 and their preparation, pharmaceutical compositions, and use for treatment of diseases associated with abnormal cell growth
INVENTOR(S): Do, Quyen-Quyen Thuy; Guo, Chuangxing; Humphries, Paul
Stuart; Marakovits, Joseph Timothy; Dong, Liming; Hou,
Xinjun; Johnson, Mary Catherine
PATENT ASSIGNEE(S): Pfizer, Inc., USA
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040646	A1	20060420	WO 2005-IB3019	20051003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

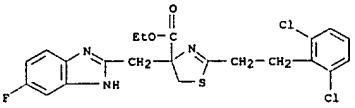
PRIORITY APPLN. INFO.: US 2004-619211P P 20041014

OTHER SOURCE(S): MARPAT 144:412505
GI

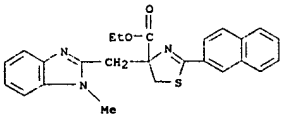
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of the formula I and to pharmaceutically acceptable salts and solvates thereof, wherein the variables are defined herein. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compds. of formula I and to pharmaceutical compns. for treating such disorders that contain the compds. of formula I. The invention also relates to methods of preparing the
compds. of formula I. Compds. of formula I wherein Q, Q1, Q2, and Q3 are independently N, CH2 or CH, where not more than two of the Qs are N; T is CH or N; T1 is O, NH or NMe; X is NH, O, CH=, or NR'; R' is (un)substiuted

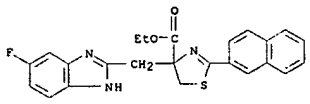
L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
alkyl; Y is CO, CH2, or CONH and derivs.; Z is H or (un)substiuted alkyl; XY and X can form a heterocyclic ring or X and Y can form a heterocyclic ring; R and V are independently H, halo, alkyl, halogenated alkyl, alkoxy,
OH, NH2, CN; R1 is (un)substituted (hetero)aryl, (un)substituted aryloxy, (un)substituted arylsulfanyl, (un)substituted arylvinyl or (un)substituted
arylalkyl(amino), etc.; R3 is CO2H, tetrazole, CO2CHR4OCOR4 or CONH2 and derivs.; R4 is H or alkyl; and their pharmaceutically acceptable salts and
solvates are claimed in this invention. Example compd. II was prepd. by substitution of compd. II with benzoxazole-2-thiol followed by hydrolysis at the ester. Addnl. 1400 example compds. were prepd. in this invention. All invention compds. were evaluated for their pin1 inhibitory activity. Example compd. II showed 10% inhibition at 1 μM and 73% inhibition at 10 μM concn. Most of the invention compds. showed good inhibitory activity at 10 μM concn.
IT 884035-51-2P 884035-54-5P 884035-56-7P
884035-58-9P 884035-60-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of benzimidazole or indole
amides as inhibitors of pin1 useful for treatment of diseases associated
with abnormal cell growth)
RN 884035-51-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-(2,6-dichlorophenyl)ethyl]-4-[(5-fluoro-1H-benzimidazol-2-yl)methyl]-4,5-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



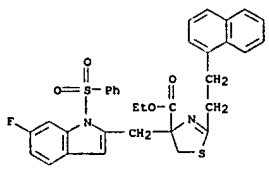
RN 884035-54-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-[(1-methyl-1H-benzimidazol-2-yl)methyl]-2-(2-naphthalenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 884035-56-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-[(5-fluoro-1H-benzimidazol-2-yl)methyl]-4,5-dihydro-2-(2-naphthalenyl)-, ethyl ester (9CI) (CA INDEX NAME)



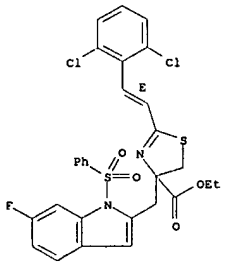
RN 884035-58-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-[[6-fluoro-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-4,5-dihydro-2-[2-(1-naphthalenyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



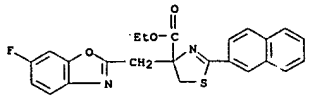
RN 884035-60-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1E)-2-(2,6-dichlorophenyl)ethenyl]-4-[[6-fluoro-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-4,5-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

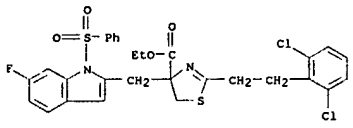


IT 884035-65-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazole or indole amides as inhibitors
of pin1 useful for treatment of diseases associated with abnormal cell growth)
RN 884035-65-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-[(6-fluoro-2-benzoxazolyl)methyl]-4,5-dihydro-2-(2-naphthalenyl)-, ethyl ester (9CI) (CA INDEX NAME)

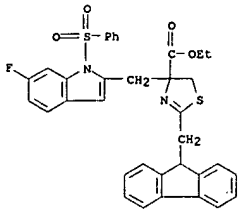


IT 884048-24-2P 884048-27-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazole or indole amides as inhibitors
of pin1 useful for treatment of diseases associated with abnormal cell growth)
RN 884048-24-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-(2,6-dichlorophenyl)ethyl]-4-[[6-fluoro-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-4,5-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

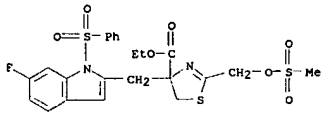
L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 884048-27-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(9H-fluoren-9-ylmethyl)-4-[[6-fluoro-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-4,5-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



IT 884048-35-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of benzimidazole or indole amides as inhibitors of pin1 useful for treatment of diseases associated with abnormal cell growth)
RN 884048-35-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-[[6-fluoro-1-(phenylsulfonyl)-1H-indol-2-yl]methyl]-4,5-dihydro-2-[[(methylsulfonyl)oxy]methyl]-, ethyl ester (9CI)
(CA INDEX NAME)

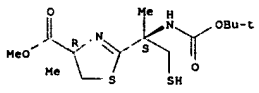


L4 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:334369 CAPLUS
DOCUMENT NUMBER: 145:62862
TITLE: Synthesis of chiral cyclic oligothiazolines: a novel structural motif for a macrocyclic molecule
AUTHOR(S): Han, Fu She; Osajima, Hiroyuki; Cheung, Mui; Tokuyama, Hidetoshi; Fukuyama, Tohru
CORPORATE SOURCE: PRESTO, the Japan Science and Technology Agency (JST), 7-3-1 Hongo, Bunkyo-ku, Tokyo, 113-0033, Japan
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2006), (16), 1757-1759
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of chiral cyclic oligo(4-β-methyl)thiazolines is described; linear oligothiazolines were efficiently prepared by the iterative formation of a thiazoline ring and a two-directional block condensation, and construction of 24- to 36-membered cyclic oligothiazoline systems could be achieved by the head-to-tail cyclooligomerization of doubly deprotected linear fragments and subsequent thiazoline formation.
IT 890534-13-1P 890534-14-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral cyclic oligothiazolines, a novel structural motif for a macrocyclic mol.)
RN 890534-13-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-mercapto-1-methylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI)
(CA INDEX NAME)

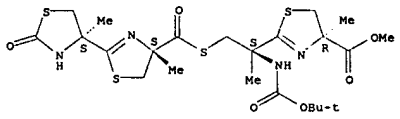
Absolute stereochemistry.



RN 890534-14-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-2-[[[(4S)-4,5-dihydro-4-methyl-2-[(4S)-4-methyl-2-oxo-4-thiazolidinyl]-4-thiazolyl]carbonyl]thio]-1-[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

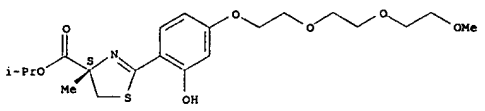
L4 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:308379 CAPLUS
DOCUMENT NUMBER: 144:480803
TITLE: (S)-4,5-Dihydro-2-(2-hydroxy-4-hydroxyphenyl)-4-methyl-4-thiazolecarboxylic Acid Polyethers: A Solution to Nephrotoxicity
AUTHOR(S): Bergeron, Raymond J.; Wiegand, Jan; McManis, James S.; Vinson, John R. T.; Yao, Hua; Bharti, Neelam; Rocca, James R.
CORPORATE SOURCE: Department of Medicinal Chemistry and the Advanced Magnetic Resonance Imaging and Spectroscopy Facility, University of Florida, Gainesville, FL, 32610-0485, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(9), 2772-2783
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Previous studies revealed that within a family of ligands the more lipophilic chelators have better iron-clearing efficiency. The larger the log Papp value of the compound, the better the iron-clearing efficiency. What is also clear from the data is that although the relative effects of log Papp changes are essentially the same through different families, there are differences in absolute value between families. However, there also exists a second, albeit somewhat more disturbing, relationship. In all sets of ligands, the most lipophilic chelator is always the most toxic. The current study focuses on designing ligands that balance the lipophilicity/toxicity problem while iron-clearing efficiency is maintained. Earlier studies with (S)-4,5-dihydro-2-(2-hydroxy-4-methoxyphenyl)-4-methyl-4-thiazolecarboxylic acid [(S)-4'-(CH3O)-DADFT, 6] indicated that this Me ether was a ligand with excellent iron-clearing efficiency in both rodents and primates; however, it was too toxic. On the basis of this finding, a less lipophilic, more water-soluble ligand than 6 was assembled, (S)-4,5-dihydro-2-[2-hydroxy-4-(3,6,9-trioxadecyloxy)phenyl]-4-methyl-4-thiazolecarboxylic acid [(S)-4'-(HO)-DADFT-PE, 11], a polyether analog, along with its Et and iso-Pr esters. The parent polyether and its iso-Pr and Et esters were all shown to be highly efficient iron chelators in both rodents and primates. A comparison of 11 in rodents with the desferrithiocin analog (S)-4,5-dihydro-2-(2,4-dihydroxyphenyl)-4-methyl-4-thiazolecarboxylic acid [(S)-4'-(HO)-DADFT, 1] revealed the polyether to be more tolerable, achieving higher concns. in the liver and significantly lower concns. in the kidney. The lower renal drug levels are in keeping with the profound difference in the architectural changes seen in the kidney of rodents given 1 vs. those treated with 11.

IT 887471-65-0P 887471-66-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(designing iron chelators that balance lipophilicity/nephrotoxicity problem)

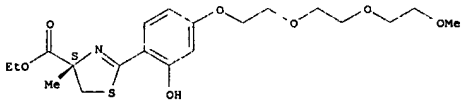
RN 887471-65-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[2-hydroxy-4-[2-[2-(2-methoxyethoxy)ethoxy]ethoxy]phenyl]-4-methyl-, 1-methylethyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 887471-66-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[2-hydroxy-4-[2-[2-(2-methoxyethoxy)ethoxy]ethoxy]phenyl]-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

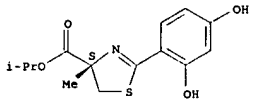
Absolute stereochemistry. Rotation (+).



IT 887471-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(designing iron chelators that balance lipophilicity/nephrotoxicity problem)

RN 887471-64-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2,4-dihydroxyphenyl)-4,5-dihydro-4-methyl-, 1-methylethyl ester, (4S)- (9CI) (CA INDEX NAME)

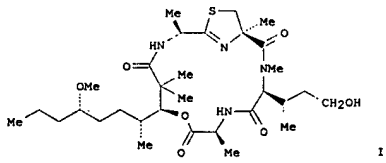
Absolute stereochemistry. Rotation (+).



L4 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:41680 CAPLUS
DOCUMENT NUMBER: 144:293049
TITLE: Total synthesis of halipeptin A, a potent anti-inflammatory cyclodepsipeptide from a marine sponge
AUTHOR(S): Hara, Sousuke; Makino, Kazuishi; Hamada, Yasumasa
CORPORATE SOURCE: Graduate School of Pharmaceutical, Sciences, Chiba University, Chiba, 263-8522, Japan
SOURCE: Tetrahedron Letters (2006), 47(7), 1081-1085
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:293049
GI



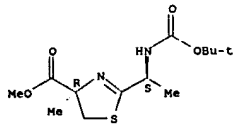
I

AB Total synthesis of halipeptin A (I), a potent anti-inflammatory cyclodepsipeptide, was achieved through proline-catalyzed asym. α-oxidation, diastereoselective aldol reaction, silver cyanide-mediated esterification, and macrolactamization.

IT 474550-00-0P 878632-95-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of antiinflammatory cyclodepsipeptide halipeptin A)

RN 474550-00-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

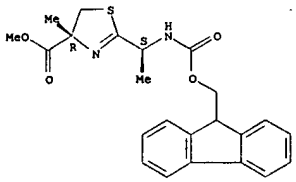
Absolute stereochemistry. Rotation (-).



RN 878632-95-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-[[(9H-fluoren-9-

L4 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ylmethoxy)carbonyl]amino]ethyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

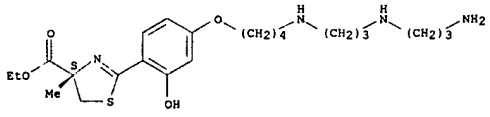
L4 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:417674 CAPLUS
DOCUMENT NUMBER: 143:125818
TITLE: Polyamine-Vectored Iron Chelators: The Role of Charge
AUTHOR(S): Bergeron, Raymond J.; Bharti, Neelam; Wiegand, Jan; McManis, James S.; Yao, Hua; Prokai, Laszlo
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Florida, Gainesville, FL, 32610-0485, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(12), 4120-4137
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The utility of polyamines as vectors for the intracellular transport of iron chelators is further described. Consistent with earlier results with polyamine analogs, these studies underscore the importance of charge in the design of polyamine-vectored chelators. Four polyamine conjugates were synthesized (I-IV). These four mols. were evaluated in murine leukemia L1210 cells for their impact on cell proliferation (48- and 96-h IC50 values), their ability to compete with spermidine for the polyamine transport apparatus (Ki), and their intracellular accumulation. The data revealed that when neutral mols. (cargo fragments) were fixed to the polyamine vector, the conjugates competed well with spermidine for transport and were accumulated intracellularly to millimolar levels. However, this was not the case when the cargo fragments were neg. charged. Metabolic studies of the polyamine-vectored (S)-4'-(HO)-DADFTs in rodents indicated that not only did the expected deaminopropylation step occur, but also a surprisingly high level of oxidative deamination at the terminal primary nitrogens took place. Finally, the iron-clearing efficiency of the (S)-4'-(HO)-DADFT conjugates was determined in a bile-duct-cannulated rodent model. Attaching the ligand to a polyamine vector had a profound effect on increasing the iron-clearing efficiency of this chelator relative to its parent drug.

IT 847829-16-7P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(role of charge of polyamine-vectored iron chelators)
RN 847829-16-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[4-[3-[(3-aminopropyl)amino]propyl]amino]butoxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, trihydrochloride, (4S)- (9CI) (CA INDEX NAME)

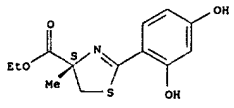
L4 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



●3 HCl

IT 847829-15-6P 847829-19-0P 857941-15-2P
857941-17-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(role of charge of polyamine-vectored iron chelators)
RN 847829-15-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2,4-dihydroxyphenyl)-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

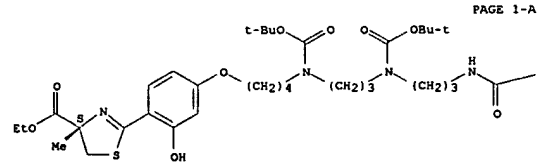
Absolute stereochemistry.



RN 847829-19-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[[5,9-bis[(1,1-dimethylethoxy)carbonyl]-16,16-dimethyl-14-oxo-15-oxa-5,9,13-triazaheptadec-1-yl]oxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



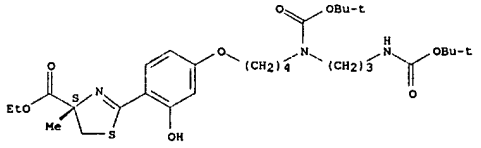
L4 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-B

—OBu-t

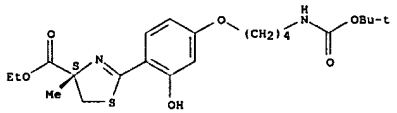
RN 857941-15-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[4-[[(1,1-dimethylethoxy)carbonyl][3-[[(1,1-dimethylethoxy)carbonyl]amino]propyl]amino]butoxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 857941-17-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[4-[[(1,1-dimethylethoxy)carbonyl]amino]butoxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:238847 CAPLUS
DOCUMENT NUMBER: 142:291453
TITLE: Polyamine-metal chelator conjugates
INVENTOR(S): Bergeron, Raymond J., Jr.
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023310	A2	20050317	WO 2004-US29318	20040909
WO 2005023310	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270261	A1	20050317	AU 2004-270261	20040909
CA 2538159	AA	20050317	CA 2004-2538159	20040909
EP 1667727	A2	20060614	EP 2004-783536	20040909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-501341P P 20030909
WO 2004-US29318 W 20040909

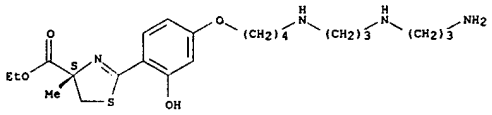
OTHER SOURCE(S): MARPAT 142:291453

AB Many metal chelators have polar or charged functional groups, which render them difficult to transport across a cell membrane. Polyamine-metal chelator conjugates of the invention are compds. comprising a first moiety which is a metal chelator and a second moiety which is a polyamine, where the polyamine moiety includes three or more nitrogen atoms which are capable of being pos. charged at physiol. pH. A conjugate of 1,2-Dimethyl-3-hydroxypyridin-4-one (L1) with spermine has been shown to accumulate in L1210 cells several hundred fold more than the unconjugated L1 chelator.

IT 847829-16-7P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyamine-metal chelator conjugates and uses in pharmacotherapy and radiotherapy and in imaging tissue and combination with other agents such as polyamine synthesis inhibitors)

L4 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 847829-16-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[4-[[3-[[3-aminopropyl)amino]propyl]amino] butoxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, trihydrochloride, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

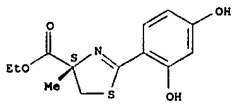


●3 HCl

IT 847829-15-6P 847829-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyamine-metal chelator conjugates and uses in pharmacotherapy and radiotherapy and in imaging tissue and combination with other agents such as polyamine synthesis inhibitors)

RN 847829-15-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2,4-dihydroxyphenyl)-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

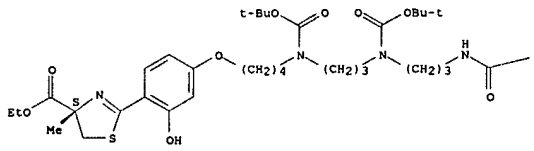


RN 847829-19-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[[5,9-bis[(1,1-dimethylethoxy)carbonyl]-16,16-dimethyl-14-oxo-15-oxa-5,9,13-triazaheptadec-1-yl]oxy]-2-hydroxyphenyl]-4,5-dihydro-4-methyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

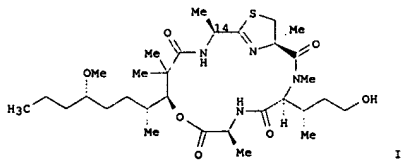
PAGE 1-A



PAGE 1-B

—OBu-t

L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:10316 CAPLUS
DOCUMENT NUMBER: 142:261769
TITLE: Total synthesis of halipeptin A: A potent antiinflammatory cyclic depsipeptide
AUTHOR(S): Yu, Shouyun; Pan, Xianhua; Lin, Xianfeng; Ma, Dawei
CORPORATE SOURCE: State Key Laboratory of Bioorgenic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Angewandte Chemie, International Edition (2005), 44(1), 135-138
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:261769
GI



I

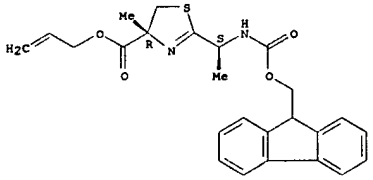
AB The antiinflammatory drug halipeptin A (I) is a 17-membered cyclic depsipeptide. Key steps in the total synthesis of I included a borane-mediated aldol reaction and an asym. aza-Claisen rearrangement. The 14R-diastereomer of I was also synthesized, and thus, the stereochem. of natural product I was confirmed.

IT 845817-56-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis and stereochem. confirmation of antiinflammatory cyclic depsipeptide halipeptin A)

RN 845817-56-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-4,5-dihydro-4-methyl-, 2-propenyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

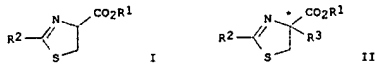
L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:308425 CAPLUS
DOCUMENT NUMBER: 140:321719
TITLE: Process for producing optically active α-substituted cysteine or salt thereof, intermediate therefor, and process for producing the same
INVENTOR(S): Maruoka, Keiji; Ooi, Takashi; Inoue, Kenji
PATENT ASSIGNEE(S): Kaneka Corporation, Japan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

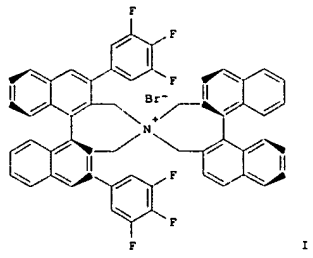
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031163	A1	20040415	WO 2003-JP12565	20031001
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003268706	A1	20040423	AU 2003-268706	20031001
EP 1548013	A1	20050629	EP 2003-748633	20031001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006069134	A1	20060330	US 2005-529039	20050324
PRIORITY APPLN. INFO.:			JP 2002-288401	A 20021001
			JP 2003-201787	A 20030725
			WO 2003-JP12565	W 20031001

OTHER SOURCE(S): CASREACT 140:321719; MARPAT 140:321719
GI

Instant App

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

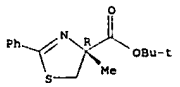




AB Disclosed is a practical process for easily or industrially advantageously
producing from an easily available inexpensive material an optically active α-substituted cysteine or salt thereof useful as an intermediate for medicines, etc. The process, which is for producing an optically active α-substituted cysteine of formula R3C*(NH2)(CH2SH)CO2H [C* = an asym. carbon atom; R3 = each (un)substituted
linear, branched or cyclic C1-20 alkyl, linear, branched or cyclic C2-20 alkenyl, linear, branched or cyclic C2-20 alkynyl, linear, branched or cyclic C3-20 alkoxycarbonyl, C7-30 aralkyl, or C4-30 heteroaralkyl], comprise converting a cysteine derivative into a thiazoline compound [I; R1 =
each (un)substituted linear, branched, or cyclic C1-10 alkyl or C1-10 alkylsilyl; R2 = each (un)substituted C6-30 aryl or linear, branched, or cyclic C1-20 alkyl], subjecting the compound I to a stereoselective substituent-introducing reaction with a compound R3-L (R3 = same as above; L
= a leaving group) in the presence of the aid of an optically active quaternary ammonium salt, especially an axially asym. quaternary ammonium salt,
as a catalyst to thereby obtain an optically active thiazoline compound (II;
R1-R3 = same as above), and hydrolyzing the compound II. Thus, 2 mL toluene
was added to 79.0 mg tert-Bu (R)-2-phenylthiazoline-4-carboxylate (III) (preparation given) and 2.74 mg an optically active quaternary ammonium salt
[(S,S)-IV], treated with 37.3 μL MeI, cooled to 0°, treated with
1 mL 50% aqueous KOH, and stirred until the compound III disappeared to give,m

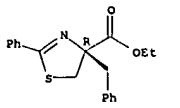
L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
after workup and silica gel chromatog., 86% tert-Bu (R)-4-methyl-2-phenylthiazoline-4-carboxylate (V) (97% ee). The compd. V (1 g) and 10 g 4 N aq. HCl were added to glass vessel and refluxed until the compd. V disappeared. The reaction mixt. was concd. to .apprx.1/6 of the original vol. under reduced pressure, codistd. with 5 mL toluene three times to give, after filtration of the pptd. crystals, washing with toluene, and drying under reduced pressure overnight, 88.0% (R)-α-methyl-L-cysteine hydrochloride.
IT 679004-90-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for producing optically active α-substituted cysteine or salt thereof by stereoselective alkylation of thiazolinecarboxylic acid
esters in presence of optically active quaternary ammonium salt)
RN 679004-90-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-phenyl-, 1,1-dimethylethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 679004-92-3P 679004-93-4P 679004-94-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for producing optically active α-substituted cysteine or salt thereof by stereoselective alkylation of thiazolinecarboxylic acid
esters in presence of optically active quaternary ammonium salt)
RN 679004-92-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-phenyl-4-(phenylmethyl)-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

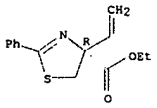
Absolute stereochemistry.



RN 679004-93-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-ethenyl-4,5-dihydro-2-phenyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

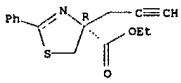
Absolute stereochemistry.

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 679004-94-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-phenyl-4-(2-propynyl)-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

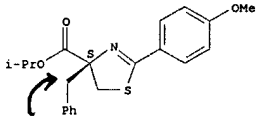
L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:931347 CAPLUS
DOCUMENT NUMBER: 139:381748
TITLE: Synthesis of 2-alkylcysteines and 2-(hydroxylated phenyl)-4-alkylthiazoline-4-carboxylic acids and derivatives
INVENTOR(S): Chanda, Bhanu M.; Cherian, Joseph; Chorghade, Mukund S.; Gimi, Rayomand H.; Gurjar, Mukund K.; Mcdonnell, Peter D.; Mhaskar, Sunil V.; Mohapatra, Dedendara K.; Wolstenhome-Hogg, Paul
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097622	A2	20031127	WO 2003-US15553	20030515
WO 2003097622	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003220504	A1	20031127	US 2003-439286	20030515
US 6875883	B2	20050405		
AU 2003247374	A1	20031202	AU 2003-247374	20030515
US 2003225287	A1	20031204	US 2003-439313	20030515
US 6903220	B2	20050607		
US 2003229231	A1	20031211	US 2003-438745	20030515
US 6861532	B2	20050301		
US 2003236434	A1	20031225	US 2003-438744	20030515
US 6794515	B2	20040921		
US 2003236404	A1	20031225	US 2003-438757	20030515
US 2003236435	A1	20031225	US 2003-439282	20030515
US 6878828	B2	20050412		
US 2003236426	A1	20031225	US 2003-439342	20030515
US 6846958	B2	20050125		
US 2004002613	A1	20040101	US 2003-439341	20030515
US 6875882	B2	20050405		
US 2004006224	A1	20040108	US 2003-439265	20030515
US 7038073	B2	20060502		
US 2004024224	A1	20040205	US 2003-438770	20030515
US 7002036	B2	20060221		
US 2004082796	A1	20040429	US 2003-439263	20030515
US 6982335	B2	20060103		
EP 1529037	A2	20050511	EP 2003-753073	20030515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538950	T2	20051222	JP 2004-505355	20030515
US 2005209462	A1	20050922	US 2004-8084	20041209
US 2006069265	A1	20060330	US 2005-85824	20050321
US 2006142586	A1	20060629	US 2005-287891	20051128
US 2006167267	A1	20060727	US 2005-305305	20051216
PRIORITY APPLN. INFO.:			US 2002-380878P	P 20020515
			US 2002-380880P	P 20020515
			US 2002-380894P	P 20020515
			US 2002-380895P	P 20020515
			US 2002-380903P	P 20020515
			US 2002-380909P	P 20020515
			US 2002-380910P	P 20020515
			US 2002-381012P	P 20020515
			US 2002-381013P	P 20020515
			US 2002-381017P	P 20020515
			US 2002-381021P	P 20020515
			US 2002-392833P	P 20020627
			US 2003-438770	A3 20030515
			US 2003-439265	A3 20030515
			US 2003-439313	A1 20030515
			US 2003-439342	A3 20030515
			WO 2003-US15553	W 20030515

OTHER SOURCE(S): CASREACT 139:381748
AB The invention provides methods of preparing 2-alkylcysteine derivs., many of which can be performed stereoselectively, and a class of iron-chelating agents related to desferrithiocin, all of which contain a thiazoline ring. The 2-alkylcysteines are represented by R2SCH2CR1R4CO2R3 [R1 = NH2, alkylamino or (hetero)arylamino; R2, R3 = H, (un)substituted alkyl or (hetero)aryl; R4 = (un)substituted alkyl). In examples, 2-methylcysteine was prepared by addition reaction of Me acrylate with bromamine-T, ring cleavage of N-tosyl-2-carbomethoxy-2-methylaziridine with thioacetic acid, and reaction with NaOMe/MeOH and HBr/AcOH/phenol. Treatment of (S)-2-methylcysteine with 2,4-dihydroxybenzonitrile in EtOH containing Et3N afforded 87.6% 4,5-dihydro-2-(2,4-dihydroxyphenyl)-4-methylthiazole-4(S)-carboxylic acid.
IT 625088-61-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(synthesis of alkylcysteines and (hydroxyphenyl)alkylthiazolinecarboxyl ic acids)
RN 625088-61-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(4-methoxyphenyl)-4-(phenylmethyl)-, 1-methylethyl ester, (4S)- (9CI) (CA INDEX NAME)

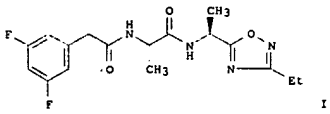
Absolute stereochemistry.



L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:35360 CAPLUS
DOCUMENT NUMBER: 138:90080
TITLE: Preparation of heterocyclic compounds and their use for inhibiting β-amyloid peptide release
INVENTOR(S): Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly and Company
SOURCE: U.S., 99 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6506782	B1	20030114	US 1998-32019	19980227
US 2003130188	A1	20030710	US 2002-246558	20020919
US 6849650	B2	20050201		
PRIORITY APPLN. INFO.:			US 1998-32019	A3 19980227

OTHER SOURCE(S): MARPAT 138:90080
GI

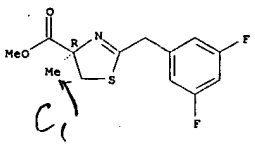


I

AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit β-amyloid peptide release and/or its synthesis and, accordingly, have utility in treating Alzheimer's disease. Compds. of formula R1NHCHR2(CONHCHR6)pCONHCHR5C(:NR4)R4 [R1 = H or acyl; R2, R5, R6 = (un)substituted alk(en)(yn)yl, cycloalkyl, (hetero)aryl, heterocyclyl; p = 0 or 1; R3and R4 combine to form a heterocyclic ring, which may be substituted] are claimed. Also disclosed are pharmaceutical compns. comprising a compound which inhibits β-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepared in a multistep synthesis and inhibited β-amyloid peptide production by at least 30% as compared to control.
IT 213024-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of heterocyclic compds. and their use for inhibiting β-amyloid peptide release)
RN 213024-92-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(3,5-difluorophenyl)methyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

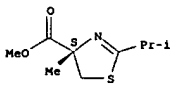
Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:928795 CAPLUS
DOCUMENT NUMBER: 138:368655
TITLE: Thiazoline ring formation from 2-methylcysteines and 2-halomethylalanines
AUTHOR(S): Kedrowski, Brant L.; Heathcock, Clayton H.
CORPORATE SOURCE: Dep. Chem., Center New Directions Organic Synthesis, Univ. California, Berkeley, CA, 94720, USA
SOURCE: Heterocycles (2002), 58, 601-634
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:368655
AB A systematic survey of conditions and substrates for the formation of 2,4,4-trisubstituted thiazoline rings is presented. The substitution patterns of these thiazolines is particularly relevant for the synthesis of the tantazole, mirabazole, and thiangazole classes of natural products, which contain a linear array of these heterocycles. Methods for the formation of these thiazolines from 2-methylcysteines and 2-(halomethyl)alanines are discussed.
IT 158252-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as a tantazole synthon; preparation of 2,4,4-trisubstituted thiazoline chiral natural product synthons from 2-methylcysteines and 2-halomethylalanines)
RN 158252-58-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

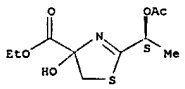
Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:835624 CAPLUS
DOCUMENT NUMBER: 139:6779
TITLE: Product class 17: thiazoles
AUTHOR(S): Kikelj, D.; Urleb, U.
CORPORATE SOURCE: Fac. Pharm., University Ljubljana, Slovenia
SOURCE: Science of Synthesis (2002), 11, 627-833
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of synthetic methods to prepare thiazoles as well as reactive modifications of thiazole moieties.
IT 533887-30-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of preparation of thiazoles and reactions thereof)
RN 533887-30-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-(acetyloxy)ethyl]-4,5-dihydro-4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1224 THERE ARE 1224 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:565737 CAPLUS
DOCUMENT NUMBER: 137:353288
TITLE: Structural revision of halipeptins: synthesis of the thiazoline unit and isolation of halipeptin C
AUTHOR(S): Della Monica, Carmela; Randazzo, Antonio; Bifulco, Giuseppe; Cimino, Paola; Aquino, Maurizio; Izzo, Irene; De Riccardis, Francesco; Gomez-Paloma, Luigi
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Salerno, Fisciano, 84084, Italy
SOURCE: Tetrahedron Letters (2002), 43(33), 5707-5710
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:353288

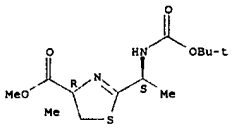
AB The structural revision of the anti-inflammatory marine metabolites halipeptin A and B along with the isolation of the new related product halipeptin C are reported. In particular, the heterocyclic portion of the mol., incorrectly assigned as an oxazetidine ring, has now been characterized as a thiazoline unit by comparison of the spectral data of the natural products with an appropriate synthetic model

2-[1-(S)-tert-butoxycarbonylaminoethyl]-4-(R)-methyl-4,5-dihydrothiazole-4-carboxylic acid Me ester. GIAO calculated 13C NMR chemical shifts for oxazetidine and thiazoline model compds. provide addnl. support to the revised structure.

IT 474550-00-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of butoxycarbonyl methyldihydrothiazolecarboxylic acid Me ester from methylcysteine via coupling for determination of halipeptins mol. structure)

RN 474550-00-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:723707 CAPLUS
DOCUMENT NUMBER: 136:50899
TITLE: Structure-activity relationship of the antimycoplasma antibiotic micacocidin - a preliminary study
AUTHOR(S): Ino, Akira; Kobayashi, Shinobu; Ueda, Kazuo; Hidaka, Shigetada; Hayase, Yoshio
CORPORATE SOURCE: Aburahi Laboratories, Shionogi and Co., Ltd., Shiga, 520-3423, Japan
SOURCE: Journal of Antibiotics (2001), 54(9), 753-756
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English

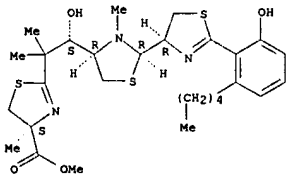
AB The antimycoplasma activities of micacocidin derivs. were studied to further understand the relationship between structure and activity. The isolation, determination structure, and total synthesis of micacocidin (1), which corresponds to the metal-free ligand A (2) were described. The role of bulkiness of the substituents and the presence of hydrogen atom required for forming hydrogen bond are crucial for showing the activity. The spatial structure of micacocidin (1) in solution was held with three intramol. hydrogen bonds to take a folded conformation resembling that of micacocidin A (2). The almost equally potent activities of 1 and 2 was presumably ascribed to their similar spatial conformations. The potency of activities was dependent on the ability adopt folded conformation. Conformation change of the activity caused by modification of the C-14 secondary alc. moiety was probably due to its control location in the mol. Reduced activities of 10S isomers were also rationalized by the difficulty in taking folded conformation. The evidence accumulated will clarify the action mechanism of the anti-mycoplasma activity by micacocidin, and facilitate the development of new antimycoplasma agents.

IT 194733-82-9 194733-84-1 194733-87-4
194733-89-6 194733-90-9 194733-92-1
383198-10-5 383198-11-6 383198-12-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-activity relationship of antimycoplasma antibiotic micacocidin - a preliminary study)

RN 194733-82-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

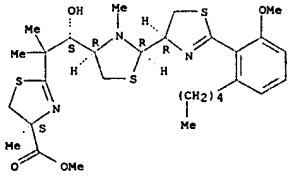
Absolute stereochemistry.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-84-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-methoxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

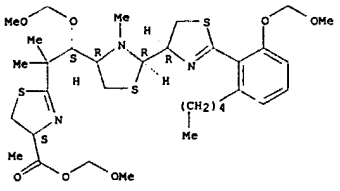
Absolute stereochemistry.



RN 194733-87-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-[2-(methoxymethoxy)-6-pentylphenyl]-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-(methoxymethoxy)-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

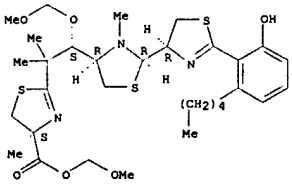
Absolute stereochemistry.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-89-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-(methoxymethoxy)-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

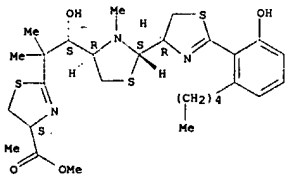
Absolute stereochemistry.



RN 194733-90-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)-(9CI)
(CA INDEX NAME)

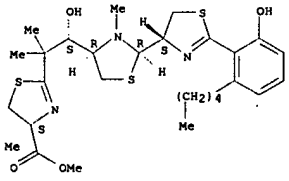
Absolute stereochemistry.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



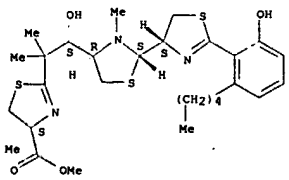
RN 383198-11-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4S)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

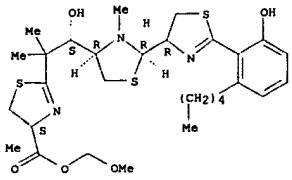


RN 383198-12-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2S,4R)-2-[(4S)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

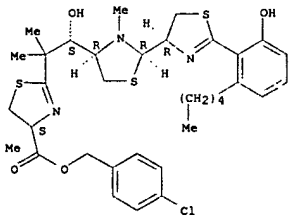


L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-92-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, (4-chlorophenyl)methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



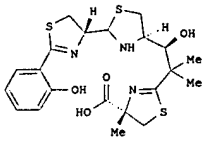
RN 383198-10-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2S,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:155247 CAPLUS
DOCUMENT NUMBER: 134:353194
TITLE: Synthetic studies of thiazoline and thiazolidine-containing natural products. Part 3: Total synthesis and absolute configuration of the siderophore yersiniabactin
AUTHOR(S): Ino, A.; Murabayashi, A.
CORPORATE SOURCE: Koka, Aburahi Laboratories, Shionogi and Co. Ltd, 520-3423, Shiga, Japan
SOURCE: Tetrahedron (2001), 57(10), 1897-1902
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353194
GI



I

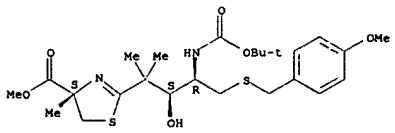
AB Total synthesis of yersiniabactin (I), a siderophore from cultures of the bacterium Yersinia enterocolitica, was accomplished. Chirality at the readily racemizable C-9 carbon was preserved during cyclization of β-hydroxythioamide by means of Burgess reagent leading to thiazoline. Based on its synthesis, the absolute configuration of natural yersiniabactin has been determined as 9R, 10RS, 12R, 13S and 19S.

IT 208585-91-5P 338461-22-6P 338461-24-8P
338461-25-9P 338461-27-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis and absolute configuration of the siderophore yersiniabactin)

RN 208585-91-5 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

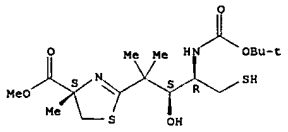
Absolute stereochemistry. Rotation (-).

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 338461-22-6 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

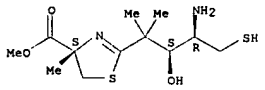


RN 338461-24-8 CAPLUS
CN L-threo-Pentitol, 4-amino-1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-2-methyl-5-thio-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 338461-23-7
CMF C12 H22 N2 O3 S2

Absolute stereochemistry.



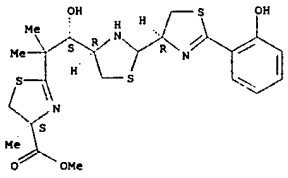
CM 2

CRN 76-05-1

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C2 H F3 O2

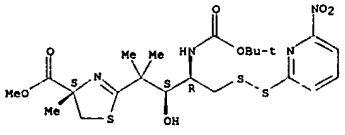
RN 338461-25-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxyphenyl)-4-thiazolyl]-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



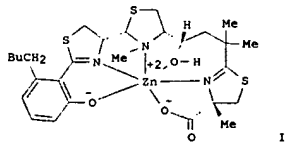
RN 338461-27-1 CAPLUS
CN L-threo-Pentitol, 1,2,4,5-tetradeoxy-4-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-methyl-1-[(6-nitro-2-pyridinyl)dithio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

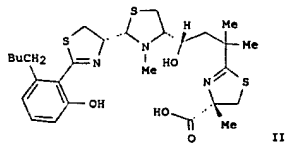


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:362495 CAPLUS
DOCUMENT NUMBER: 133:163962
TITLE: Fourier transform infrared and circular dichroism spectroscopic studies of hydrogen bonding in micacocidin A and micacocidin in dilute CH2Cl2 or CHCl3 solution
AUTHOR(S): Takasuka, M.; Kobayashi, S.; Ino, A.; Iwata, T.; Hayase, Y.
CORPORATE SOURCE: Shionogi Research Laboratories, Shionogi and Co., Ltd., Osaka, 553-0002, Japan
SOURCE: Vibrational Spectroscopy (2000), 23(2), 243-251
CODEN: VISPEK; ISSN: 0924-2031
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

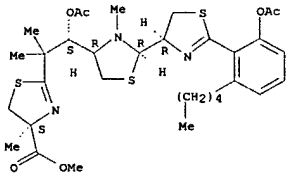


II

AB FTIR spectra of micacocidin A (I), which is a Zn complex antibiotic, the metal-free ligand micacocidin (II), its derivs. and their related compds. were measured in dilute CH2Cl2 solution in order to elucidate the conformations of I and II in solution Curve anal. of the spectra to sep. overlapping absorption bands showed that I forms dimers due to two strong intermol. hydrogen bonds between a hydroxy group and the phenolate anion and II exists in a folded conformation with three rings formed by intramol. hydrogen bonds between phenolic, aliphatic and carboxylic OH groups and the N atoms of thiazoline, another thiazoline and thiazolidine, resp. The concentration and temperature dependencies of CD spectra of I were measured in CHCl3 and CH3OH solns. and CH3CN solution, resp., in order to confirm the formation

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
of the dimers of I in these solvents. The variation of the CD band by addn. of ZnCl2 to II was also measured in CH3OH soln. II was shown to be more easily transformed to I by Zn2+ ion in the soln.
IT 194733-80-7 194733-83-0 194733-88-5
194733-90-9 208585-93-7
RL: PRP (Properties)
(FTIR and CD spectra of hydrogen bonding in micacocidin A and micacocidin in dilute CH2Cl2 or CHCl3 solution)
RN 194733-80-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-(acetyloxy)-2-[(2R,4R)-2-[(4R)-2-[2-(acetyloxy)-6-pentylphenyl]-4,5-dihydro-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

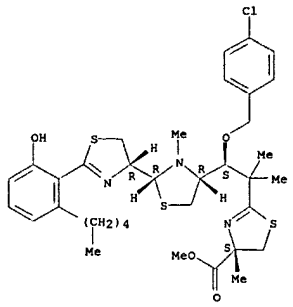
Absolute stereochemistry.



RN 194733-83-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(4-chlorophenyl)methoxy]-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

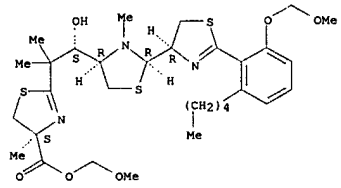
Absolute stereochemistry.

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



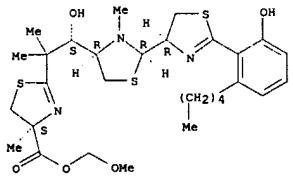
RN 194733-88-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-[2-(methoxymethoxy)-6-pentylphenyl]-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



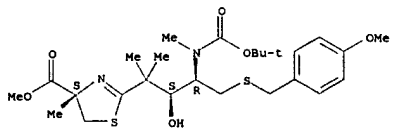
RN 194733-90-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI)
(CA INDEX NAME)

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 208585-93-7 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

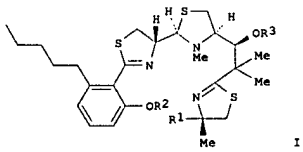


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:763870 CAPLUS
DOCUMENT NUMBER: 132:15624
TITLE: Drugs for enhancing patients' sensitivity against drug-resistant pathogenic microorganisms
INVENTOR(S): Nakamura, Takashi; Yamano, Yoshinori; Seo, Syujiro
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961021	A1	19991202	WO 1999-JP2596	19990519
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1998-143949	A 19980526

OTHER SOURCE(S): MARPAT 132:15624
GI

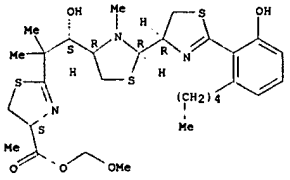


I

AB Thiazole derivs. shown by Markush structure (I) where R1, R2, and R3 represent a large number of substituents described in the claim, are especially
effective against drug-resistant Pseudomonas.
IT 194733-90-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(thiazole derivs. for controlling drug-resistant pathogenic microorganisms)
RN 194733-90-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:548673 CAPLUS
DOCUMENT NUMBER: 131:322458
TITLE: Synthetic studies of thiazoline and thiazolidine-containing natural products. 2. Total synthesis of the antimycoplasma antibiotic micacocidin
AUTHOR(S): Ino, Akira; Hasegawa, Yasushi; Murabayashi, Akira
CORPORATE SOURCE: Aburahi Laboratories, Shionogi and Co., Ltd., Shiga, 520-3423, Japan
SOURCE: Tetrahedron (1999), 55(34), 10283-10294
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:322458

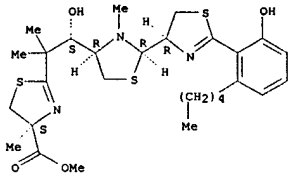
AB Synthesis of the right half of micacocidin (segment B) and subsequent completion of total synthesis of the antimycoplasma antibiotic micacocidin is described. The desired S-configuration at C-14 secondary carbinol was obtained by stereoselective reduction of the preceding ketone in accordance with the Cram rule. Condensation of two labile segments, A and B, was achieved in the presence of potassium acetate. The chiral center at C-10 was finally isomerized to the natural configuration through formation of the Zn complex.

IT 194733-82-9P, Micacocidin methyl ester 208585-87-9P
208585-89-1P 208585-91-5P 208585-93-7P
208585-95-9P 208586-06-5P 249271-59-8P
249271-60-1P 249271-62-3P 249271-65-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of the antimycoplasma antibiotic micacocidin)

RN 194733-82-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

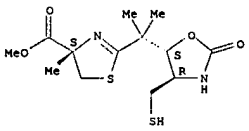


RN 208585-87-9 CAPLUS

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[(4R,5S)-4-(mercaptomethyl)-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

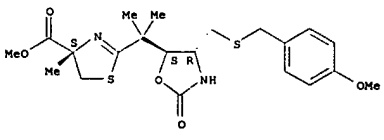
Absolute stereochemistry. Rotation (-).



RN 208585-89-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[(4R,5S)-4-[[[(4-methoxyphenyl)methyl]thio]methyl]-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

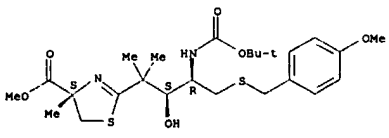
Absolute stereochemistry. Rotation (-).



RN 208585-91-5 CAPLUS

CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



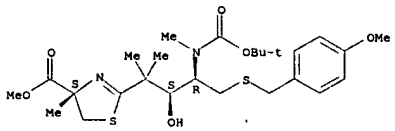
RN 208585-93-7 CAPLUS

CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]meth[illegible]

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued).

methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

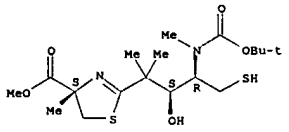
Absolute stereochemistry. Rotation (-).



RN 208585-95-9 CAPLUS

CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

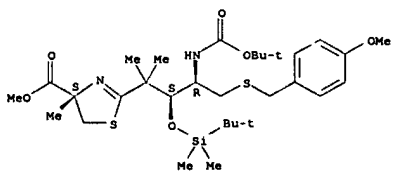
Absolute stereochemistry. Rotation (-).



RN 208586-06-5 CAPLUS

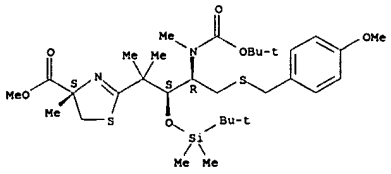
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-O-[(1,1-dimethylethyl)dimethylsilyl]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



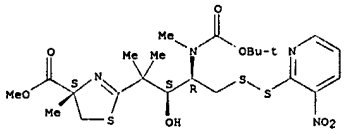
L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 249271-59-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-{(2S,3R)-3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-[[(1,1-dimethylethyl]dimethylsilyl]oxy]-4-[[(4-methoxyphenyl)methyl]thio]-1,1-dimethylbutyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 249271-60-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S,3R)-3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-hydroxy-1,1-dimethyl-4-[(3-nitro-2-pyridinyl)dithio]butyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



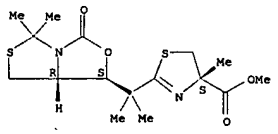
RN 249271-62-3 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-2-methyl-4-(methylamino)-5-thio-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 208585-97-1
CMF C13 H24 N2 O3 S2

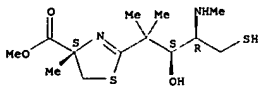
L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.

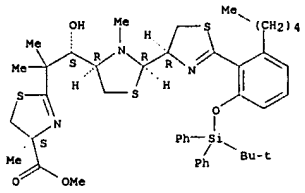


CM 2

CRN 76-05-1
CMF C2 H F3 O2

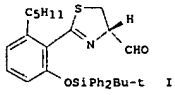
RN 249271-65-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-2-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-6-pentylphenyl]-4,5-dihydro-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



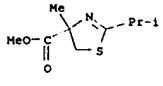
IT 249271-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of the antimycoplasma antibiotic micacocidin)
RN 249271-61-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[1-[(1S,7aR)-dihydro-5,5-dimethyl-3-oxo-1H,3H,5H-thiazolo[3,4-c]oxazol-1-yl]-1-methylethyl]-4,5-dihydro-4-methyl-,

L4 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:548672 CAPLUS
DOCUMENT NUMBER: 131:336849
TITLE: Synthetic studies of thiazoline and thiazolidine-containing natural products. 1. Phosphorus pentachloride-mediated thiazoline construction reaction
AUTHOR(S): Ino, Akira; Murabayashi, Akira
CORPORATE SOURCE: Aburahi Laboratories, Shionogi and Co., Ltd., Shiga, 520-3423, Japan
SOURCE: Tetrahedron (1999), 55(34), 10271-10282
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:336849
GI



I

AB Phosphorus pentachloride effectively mediates the cyclization of N-acylcysteamine derivs. giving rise to thiazoline rings. Sterically hindered thiazoline analogs were constructed and the left half fragment I of micacocidin, a unique antimycoplasma antibiotic, was efficiently synthesized via the PCl5 mediated cyclization of N-acylcysteamine derivs.
IT 153060-83-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thiazolines via PCl5 mediated cyclization of N-acylcysteamines)
RN 153060-83-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)

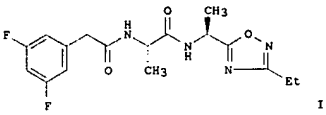


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:608608 CAPLUS
DOCUMENT NUMBER: 129:245485
TITLE: Preparation of heterocyclic compounds and their use for inhibiting β-amyloid peptide release
INVENTOR(S): Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James J.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838177	A1	19980903	WO 1998-US3373	19980227
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9801627	A	19991005	ZA 1998-1627	19980226
CA 2278674	AA	19980903	CA 1998-2278674	19980227
AU 9866622	A1	19980918	AU 1998-66622	19980227
EP 968198	A1	20000105	EP 1998-908637	19980227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 9902071	T2	20000121	TR 1999-2071	19980227
BR 9807876	A	20000229	BR 1998-7876	19980227
JP 2001513107	T2	20010828	JP 1998-537732	19980227
NO 9904016	A	19991018	NO 1999-4016	19990819
PRIORITY APPLN. INFO.:			US 1997-808263	A1 19970228
			WO 1998-US3373	W 19980227

OTHER SOURCE(S): MARPAT 129:245485
GI

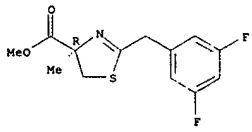


I

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit β-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed are pharmaceutical compns. comprising a compound which inhibits β-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepared in a multistep synthesis and inhibited β-amyloid peptide production by at least 30% as compared to control.
IT 213024-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. and their use for inhibiting β-amyloid peptide release)
RN 213024-92-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(3,5-difluorophenyl)methyl]-4,5-dihydro-4-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



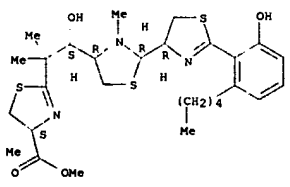
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:330820 CAPLUS
DOCUMENT NUMBER: 129:67621
TITLE: Total synthesis of the antimycoplasma antibiotic micacocidin
AUTHOR(S): Ino, Akira; Hasegawa, Yasushi; Murabayashi, Akira
CORPORATE SOURCE: Aburahi Laboratories, Shionogi and Co., Ltd., Shiga, 520-3423, Japan
SOURCE: Tetrahedron Letters (1998), 39(21), 3509-3512
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:67621
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A total synthesis of the antimycoplasma antibiotic micacocidin (I) is described. Construction of sterically hindered thiazoline II was achieved by a phosphorus pentachloride-mediated cyclization reaction of S-protected aryloylcysteine III (PMB = CH2C6H4OMe-4), and I with desired chirality at C-10 was favorably obtained from diastereomeric mixture IV through formation of the Zn complex V.
IT 194733-82-9P, Micacocidin methyl ester 208585-87-9P 208585-89-1P 208585-91-5P 208585-93-7P 208585-95-9P 208585-98-2P 208586-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of the antimycoplasma antibiotic micacocidin via chelation-controlled isomerization)
RN 194733-82-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

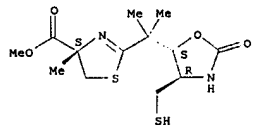
Absolute stereochemistry.



RN 208585-87-9 CAPLUS

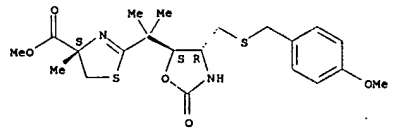
L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[(4R,5S)-4-(mercaptomethyl)-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



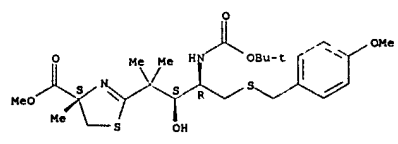
RN 208585-89-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[[(4R,5S)-4-[[[(4-methoxyphenyl)methyl]thio]methyl]-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 208585-91-5 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

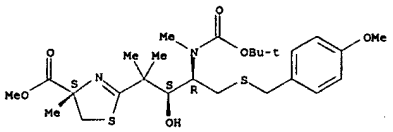
Absolute stereochemistry. Rotation (-).



RN 208585-93-7 CAPLUS
CN L-threo-Pentitol, 1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-

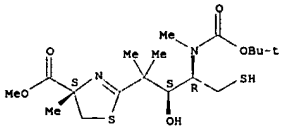
L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methoxyphenyl)methyl]-2-methyl-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 208585-95-9 CAPLUS
CN L-threo-Pentitol,
1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-
methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-methyl-
5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

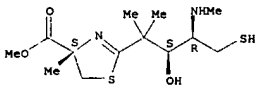


RN 208585-98-2 CAPLUS
CN L-threo-Pentitol,
1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-
methyl-2-thiazolyl]-2-methyl-4-(methylamino)-5-thio-,
bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 208585-97-1
CMF C13 H24 N2 O3 S2

Absolute stereochemistry.



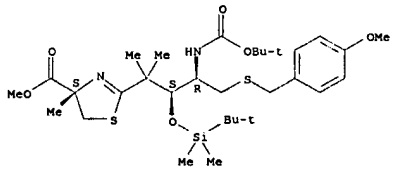
L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 208586-06-5 CAPLUS
CN L-threo-Pentitol,
1,2,4-trideoxy-2-[(4S)-4,5-dihydro-4-(methoxycarbonyl)-4-
methyl-2-thiazolyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-O-[(1,1-
dimethylethyl)dimethylsilyl]-5-S-[(4-methoxyphenyl)methyl]-2-methyl-5-thio-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



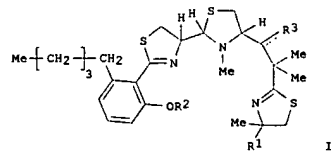
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:542437 CAPLUS
DOCUMENT NUMBER: 127:205400
TITLE: Preparation of micacocidin derivatives as antibacterials, antifungals, coccidiostats, and immunosuppressants
INVENTOR(S): Hayase, Yoshio; Kobayashi, Shinobu; Ueda, Kazuo; Hidaka, Shigetada
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

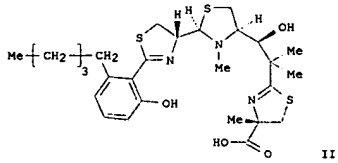
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729096	A1	19970814	WO 1997-JP266	19970204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 406080	B	20000921	TW 1997-86101254	19970203
CA 2244901	AA	19970814	CA 1997-2244901	19970204
AU 9715584	A1	19970828	AU 1997-15584	19970204
CN 1215394	A	19990428	CN 1997-193647	19970204
CN 1082956	B	20020417		
EP 976741	A1	20000202	EP 1997-901828	19970204
R: CH, DE, ES, FR, GB, IT, LI, SE				
US 6004952	A	19991221	US 1998-117734	19980805
PRIORITY APPLN. INFO.:			JP 1996-44243 A	19960205
			WO 1997-JP266 W	19970204

GI

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

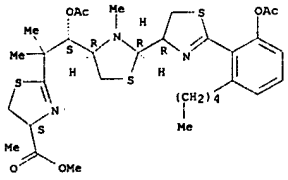
AB The title compds. [I; R1 = COOR4, CONR5R6, CO-R7-OR, etc.; R4 = H, OH, (un)substituted alkyl, (un)substituted alkoxy, etc.; R5, R6 = OH, (un)substituted alkoxy, etc.; R7 = α-amino acid residue; R = H, alkyl; R2 = H, (un)substituted alkyl, (un)substituted aralkyl, (un)substituted heteroaryl, etc.; R3 = H, OR8, O; R8 = H, (un)substituted alkyl, (un)substituted heteroarylalkyl, etc.] are prepared Thus, micacocidin in CH2Cl2 was treated with 1N HCl to give the title compound II,
which had an IC50 of 6.3 μg/mL against Candida albicans.

IT 194733-80-7P 194733-82-9P 194733-84-1P
194733-91-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of micacocidin derivs. as antibacterials, antifungals, coccidiostats, and immunosuppressants)

RN 194733-80-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-(acetyloxy)-2-[(2R,4R)-2-[(4R)-2-[2-(acetyloxy)-6-pentylphenyl]-4,5-dihydro-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

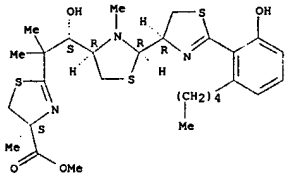
Absolute stereochemistry.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-82-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

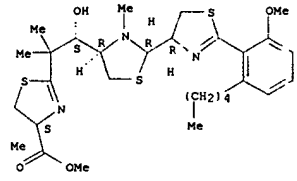
Absolute stereochemistry.



RN 194733-84-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-methoxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

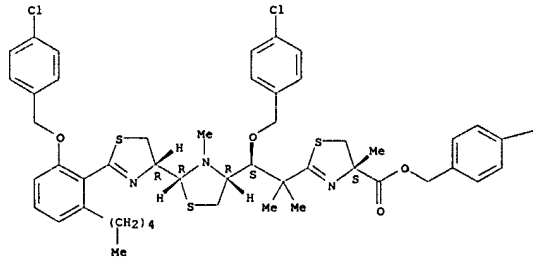
L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-91-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[(4-chlorophenyl)methoxy]-2-[2-[2-[2-[(4-chlorophenyl)methoxy]-6-pentylphenyl]-4,5-dihydro-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, (4-chlorophenyl)methyl ester, [2R-[2α(R*),4α(S*(S*))]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

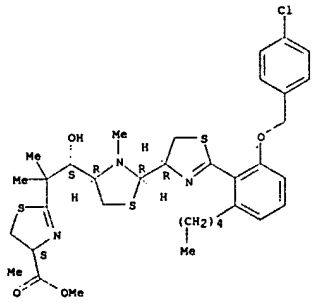
PAGE 1-B

—Cl

IT 194733-81-8P 194733-83-0P 194733-85-2P
194733-86-3P 194733-87-4P 194733-88-5P
194733-89-6P 194733-90-9P 194733-92-1P
194733-93-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of micacocidin derivs. as antibacterials, antifungals, coccidiostats, and immunosuppressants)

RN 194733-81-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[2-[2-[2-[(4-chlorophenyl)methoxy]-6-pentylphenyl]-4,5-dihydro-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, [2R-[2α(R*),4α(S*(S*))]]- (9CI) (CA INDEX NAME)

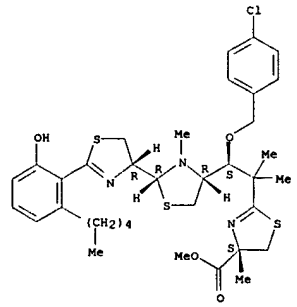
Absolute stereochemistry.



RN 194733-83-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(4-chlorophenyl)methoxy]-2-[(2R,4R)-

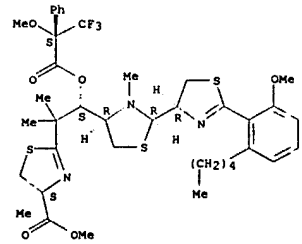
L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194733-85-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[2-[4,5-dihydro-2-(2-methoxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethyl-2-(3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropoxy)ethyl]-4,5-dihydro-4-methyl-, methyl ester, [2R-[2α(R*),4α[1(S*),2S*(S*)]]]- (9CI) (CA INDEX NAME)

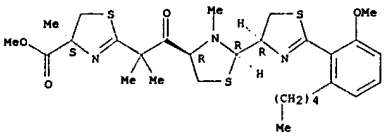
Absolute stereochemistry.



RN 194733-86-3 CAPLUS

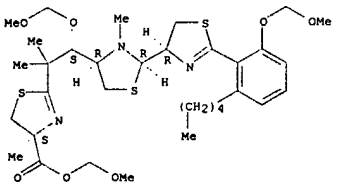
L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 4-Thiazolecarboxylic acid, 2-[2-[2-[4,5-dihydro-2-(2-methoxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethyl-2-oxoethyl]-4,5-dihydro-4-methyl-, methyl ester, [2R-[2α(R*),4α(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194733-87-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-[2-(methoxymethoxy)-6-pentylphenyl]-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-(methoxymethoxy)-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

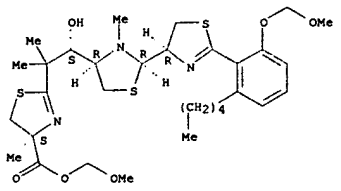
Absolute stereochemistry.



RN 194733-88-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-[2-(methoxymethoxy)-6-pentylphenyl]-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

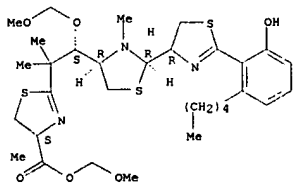
Absolute stereochemistry.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-89-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-(methoxymethoxy)-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

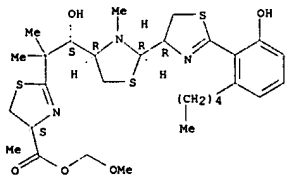
Absolute stereochemistry.



RN 194733-90-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methoxymethyl ester, (4S)- (9CI) (CA INDEX NAME)

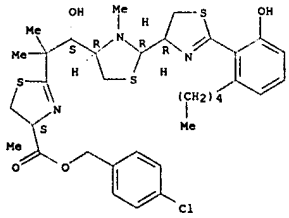
Absolute stereochemistry.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 194733-92-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(2R,4R)-2-[(4R)-4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, (4-chlorophenyl)methyl ester, (4S)- (9CI) (CA INDEX NAME)

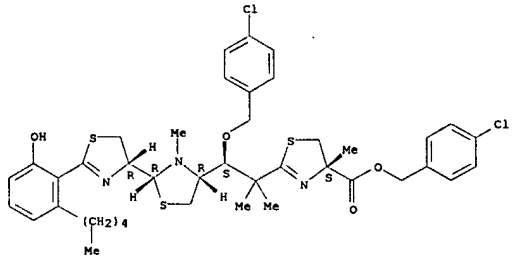
Absolute stereochemistry.



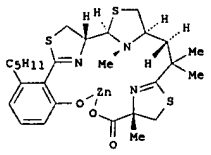
RN 194733-93-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[(4-chlorophenyl)methoxy]-2-[2-[4,5-dihydro-2-(2-hydroxy-6-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, (4-chlorophenyl)methyl ester, [2R-[2α(R*),4α[S*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:703670 CAPLUS
DOCUMENT NUMBER: 126:6490
TITLE: Chemical structure and total synthesis of new antimycoplasma antibiotic micacocidin
AUTHOR(S): Ino, Akira; Kobayashi, Shinobu; Hidaka, Shigetada; Kawamura, Yoshihiro; Ozaki, Mamoru; Hayase, Yoshio; Takeda, Reiji; Murabayashi, Akira
CORPORATE SOURCE: ABURAHI LABORATORIES SHIONOGI and CO., LTD., Japan
SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1996), 38th, 121-126
CODEN: TYKYDS
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

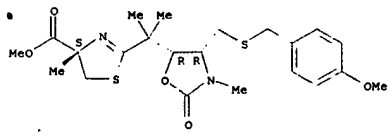


I

AB Antimycoplasma antibiotic micacocidin (I) is manufactured with soil Pseudomonas sp. 57-250 and purified from the fermentation broth by chromatog. Zn2+ enhanced the production of I. Chemical synthesis of I was given.
IT 183621-84-3P 183621-85-4P 183621-89-8P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of)
RN 183621-84-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[4-[[[(4-methoxyphenyl)methyl]thio]methyl]-3-methyl-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, [4R-[4α,5α(S*)]]- (9CI) (CA INDEX NAME)

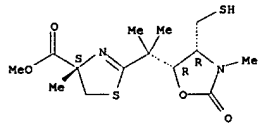
Absolute stereochemistry.

L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



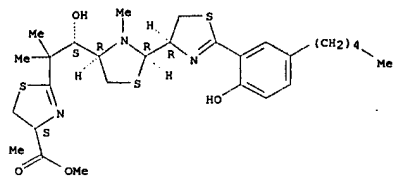
RN 183621-85-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-[1-[4-(mercaptomethyl)-3-methyl-2-oxo-5-oxazolidinyl]-1-methylethyl]-4-methyl-, methyl ester, [4R-[4α,5α(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

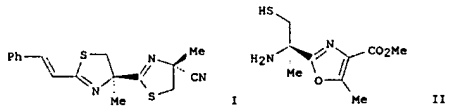


RN 183621-89-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[2-[4,5-dihydro-2-(2-hydroxy-5-pentylphenyl)-4-thiazolyl]-3-methyl-4-thiazolidinyl]-2-hydroxy-1,1-dimethylethyl]-4,5-dihydro-4-methyl-, methyl ester, [2R-[2α(R*),4α[S*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



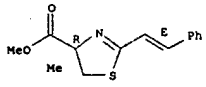
L4 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:657309 CAPLUS
DOCUMENT NUMBER: 123:83804
TITLE: Total synthesis of thiangazole, a novel naturally occurring HIV-1 inhibitor from Polyangium sp
AUTHOR(S): Boyce, Richard J.; Mulqueen, Gerard C.; Pattenden, Gerald
CORPORATE SOURCE: Dep. Chemistry, Nottingham Univ., Nottingham, NG7 2RD, UK
SOURCE: Tetrahedron (1995), 51(26), 7321-30
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Pergamon
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:83804
GI



I II

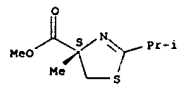
AB The total synthesis of the cinnamyl-oxazole substituted tris-thiazoline containing metabolite (-)-thiangazole is described. The synthesis is based on elaboration of the R-2-methylcysteine derived bis-thiazoline nitrile I and oxazole II intermediates, followed by a cyclocondensation reaction between I and II, and treatment of the resulting tris-thiazoline oxazole ester with methylamine.
IT 157770-61-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of thiangazole)
RN 157770-61-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(2-phenylethenyl)-, methyl ester, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:657308 CAPLUS
DOCUMENT NUMBER: 123:83058
TITLE: Naturally occurring 4-methylthiazolines. A total synthesis of (-)-[4R,4S']-didehydromirabazole A
AUTHOR(S): Boyce, Richard J.; Pattenden, Gerald
CORPORATE SOURCE: Dep. Chemistry, Nottingham Univ., Nottingham, NG7 2RD, UK
SOURCE: Tetrahedron (1995), 51(26), 7313-20
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Pergamon
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The total synthesis of the 4-methylthiazoline-based natural product didehydromirabazole A, produced by the blue green alga Scytonema mirabile, shows that its stereostructure is (4R,4'S).
IT 158252-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis and absolute configuration of natural didehydromirabazole A)
RN 158252-58-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:264543 CAPLUS
DOCUMENT NUMBER: 122:56038
TITLE: Thiazole and oxazole-based β3-adrenergic receptor agonists
INVENTOR(S): Sher, Philip M.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 20 pp
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

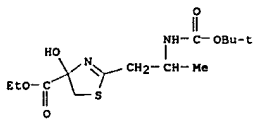
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5321036	A	19940614	US 1993-15940	19930210
PRIORITY APPLN. INFO.:			US 1993-15940	19930210

OTHER SOURCE(S): MARPAT 122:56038
GI

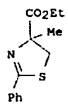
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. having the formula I and pharmaceutically acceptable salts thereof, where R1 = CR7R8(CH2)m, R3 is (CH2)n or in the case where R2 is II, R3 in addition to the above may be (CH2)pCR7'(COR4); R4 is hydroxy, alkoxy, amino, alkylamino or dialkylamino; R5 is hydrogen fluorine, chlorine, bromine, iodine, CN, CF3, lower alkyl, lower alkoxy, cycloalkyl or aryl; R6 is lower alkyl, cycloalkyl or aryl; R7, R7', R8 and R8' may together be CH2CH2; Z is hydrogen or ACH(OH)CH2; m is an integer of 1 or 2; n is zero or an integer of 1 to 6; and p is an integer of 1 to 5. These compds. are β3-adrenergic receptor agonists (no data) and are useful, therefore for example, in the treatment of diabetes, obesity and gastrointestinal diseases.
IT 159877-50-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of β3-adrenergic receptor agonists)
RN 159877-50-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]propy l]-4,5-dihydro-4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

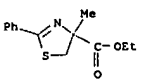


L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:680444 CAPLUS
DOCUMENT NUMBER: 121:280444
TITLE: Total synthesis of thiangazole
AUTHOR(S): Ehrler, Juerg; Farooq, Saleem
CORPORATE SOURCE: Ciba Crop Protection, Ciba-Geigy Ltd., Basle, CH-4002, Switz.
SOURCE: Synlett (1994), (9), 702-4
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

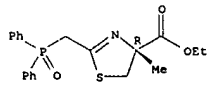
AB The total synthesis of thiangazole, a tris-thiazoline-oxazole metabolite isolated from Polyangium spec. strain PI 3007, is described utilizing the stepwise formation of the thiazoline moieties with Et (R)-2-methyl-cysteine which is obtained by preparative HPLC-separation of the racemic 2-phenylthiazoline derivative I followed by acidic hydrolysis.
IT 158785-69-4P 158785-72-9P 158850-80-7P
158850-81-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of thiangazole)
RN 158785-69-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 158785-72-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[(diphenylphosphinyl)methyl]-4,5-dihydro-4-methyl-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

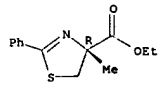
Absolute stereochemistry.

L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



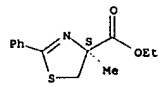
RN 158850-80-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-phenyl-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

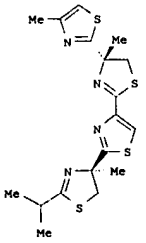


RN 158850-81-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-phenyl-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:631130 CAPLUS
DOCUMENT NUMBER: 121:231130
TITLE: Total synthesis of didehydromirabazole A and revision of stereostructure
AUTHOR(S): Boyce, Richard J.; Pattenden, Gerald
CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Synlett (1994), (8), 587-8
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

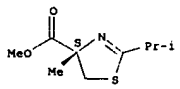


I

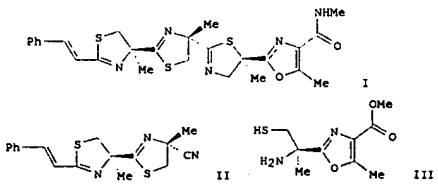
AB A new total synthesis of didehydromirabazole A, shows that its stereostrucutre should be revised to I.
IT 158252-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of didehydromirabazole A and revision of stereostructure)
RN 158252-58-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl
ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



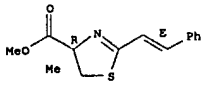
L4 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:605775 CAPLUS
DOCUMENT NUMBER: 121:205775
TITLE: Total synthesis of thiangazole, a novel inhibitor of HIV-1 from Polyangium sp
AUTHOR(S): Boyce, Richard J.; Mulqueen, Gerard C.; Pattenden, Gerald
CORPORATE SOURCE: Department of Chemistry, The University, Nottingham, NG7 2RD, UK
SOURCE: Tetrahedron Letters (1994), 35(31), 5705-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:205775
GI



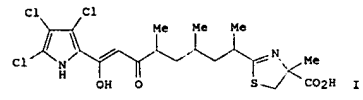
I II III

AB A concise total synthesis of the cinnamyl-oxazole substituted tris-thiazoline containing metabolite thiangazole (I) was achieved via coupling of II and III.
IT 157770-61-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in total synthesis of thiangazole)
RN 157770-61-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(2-phenylethenyl)-, methyl ester, [R-(E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

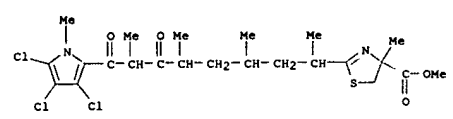


L4 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:408937 CAPLUS
DOCUMENT NUMBER: 121:8937
TITLE: Thiazohalostatin, a new cytoprotective substance produced by Actinomadura. II. Physico-chemical properties and structure determination
AUTHOR(S): Shindo, Kazutoshi; Yamagishi, Yuji; Kawai, Hiroyuki
CORPORATE SOURCE: Pharm. Res. Lab., Kirin Brew. Co., Ltd., Takasaki, 370-12, Japan
SOURCE: Journal of Antibiotics (1993), 46(11), 1638-42
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The structure of thiazohalostatin (I) was elucidated by NMR spectral analyses and chemical modifications. Fermentation of Actinomadura sp. HQ24 in the presence of KBr gave the tribromo analog of I.
IT 154914-54-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from thiazohalostatin)
RN 154914-54-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-[1,3,5,7-tetramethyl-6,8-dioxo-8-(3,4,5-trichloro-1-methyl-1H-pyrrol-2-yl)octyl]-, methyl ester (9CI) (CA INDEX NAME)

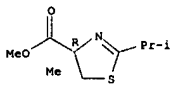


L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:164618 CAPLUS
DOCUMENT NUMBER: 120:164618
TITLE: Naturally occurring linear fused thiazoline-thiazole containing metabolites: total synthesis of (-)-didehydromirabazole A, a cytotoxic alkaloid from blue-green algae
AUTHOR(S): Pattenden, Gerald; Thom, Stephen M.
CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, NG7 2RD, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1993), (14), 1629-36
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:164618
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

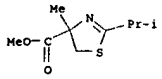
AB A concise total synthesis of the thiazoline-thiazole containing metabolite didehydromirabazole A (I) is described. The synthesis uses the unusual amino acid (R)-2-methylcysteine in sequential cyclocondensations with imino ethers as key steps, viz Me2C(:NH)OMe → II and III → IV.
IT 143207-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)
RN 143207-48-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 153060-83-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 153060-83-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

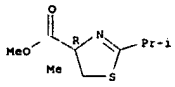


L4 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:571790 CAPLUS
DOCUMENT NUMBER: 117:171790
TITLE: Cytotoxic alkaloids from blue-green algae: a total synthesis of (-)-didehydromirabazole A
AUTHOR(S): Pattenden, Gerald; Thom, Stephen M.
CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Synlett (1992), (6), 533-7
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:171790
GI

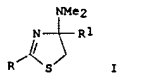
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A concise total synthesis of the thiazole-thiazoline based metabolite (-)-didehydromirabazole A (I), a cytotoxic alkaloid isolated recently from the blue-green alga Scytonema mirabile, is described which uses sequential cyclocondensation reactions with Me (R)-2-methylcysteine hydrochloride as key steps via thiazoles II and III.
IT 143207-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)
RN 143207-48-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-methyl-2-(1-methylethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

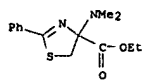


L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:41586 CAPLUS
DOCUMENT NUMBER: 116:41586
TITLE: Addition of trimethylsulfoxonium ylide and methylenetriphenylphosphorane to N2-(thioacyl)amidines
AUTHOR(S): Toure, S. A.; Voglozin, A.; Degny, E.; Danion-Bougot, R.; Danion, D.; Pradere, J. P.; Toupet, L.; N'Guessan, Y. T.
CORPORATE SOURCE: Lab. Chim. Org. Struct., Fac. Sci. Tech., Abidjan, Cote d'Ivoire
SOURCE: Bulletin de la Societe Chimique de France (1991), (July-Aug.), 574-9
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 116:41586
GI



I

AB Trimethylsulfoxonium ylide reacts with 4-dimethylamino-1-thia-3-azabutadienes RC(S)N:CR1NMe2 (R = Ph, R1 = H, CO2Et; R = PhCH2S, R1 = H, Me, CO2Et) (N2-thioacylamidines) affording thiazol-2-ines I through a regioselective reaction corresponding to a nucleophilic attack on the amidine group. Thiazoles are obtained by reaction of Ph isocyanate with 4-aminothiazol-2-ines. Addition of methylenetriphenylphosphorane to N2-acyl or N2-thioacylamidines occurs by a similar pathway, but the intermediate betaine is stable at room temperature Hydrolysis affords a dimethylaminovinylphosphonium salt Me2NCH:CHP+Ph3 Br-. In boiling toluene or THF, dimethylamine elimination occurs, leading to N-acyl or N-thioacyliminoethylidenetriphenylphosphoranes. An x-ray structural determination of the latter, PhC(O)N:CHCH:PPh3, is achieved.
IT 127956-59-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127956-59-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 4-(dimethylamino)-4,5-dihydro-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:440532 CAPLUS
DOCUMENT NUMBER: 113:40532
TITLE: N-Thioacylformamidines: 1,3-dipolar cycloadditions. Synthesis of substituted thiazolines
AUTHOR(S): Danion-Bougot, Renee; Tuloup, Remy; Danion, Daniel; Pradere, Jean Paul; Tonnard, Francois
CORPORATE SOURCE: Groupe Rech. Physicochim. Struct., Univ. Rennes 1, Rennes, F-35042, Fr.
SOURCE: Sulfur Letters (1989), 9(6), 245-51
CODEN: SULED2; ISSN: 0278-6117
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 113:40532
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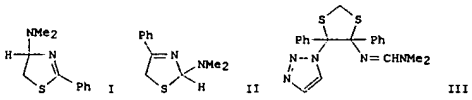
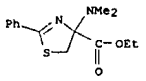


AB CH2N2 reacted with N-thioacylformamidines and formamidines to give thiazolines. E.g., reaction of PhC(S)N:CHNMe2 with CH2N2 gave 54% and 32% of the thiazolines I and II, resp., as well as triazole III. The reactions involved cycloaddn. to the thiocarbonyl functions.

IT 127956-59-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

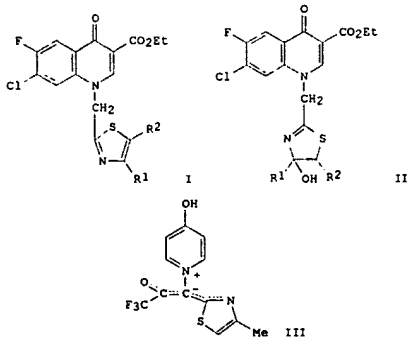
RN 127956-59-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 4-(dimethylamino)-4,5-dihydro-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:473375 CAPLUS
DOCUMENT NUMBER: 109:73375
TITLE: The synthesis of 1-[(2-thiazolyl)methyl]quinolones. The reactivity of the methylene bridge at position-1 and its involvement in the formation of a stable carbon-nitrogen ylide
AUTHOR(S): Izzo, Patrick T.; Lee, Ving J.
CORPORATE SOURCE: Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA
SOURCE: Journal of Heterocyclic Chemistry (1988), 25(1), 289-95
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:73375
GI



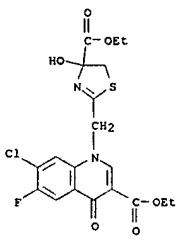
AB Thiazolylmethylquinolinecarboxylates I (R1 = R2 = Me, R1 = Me, CO2Et, R2 = H) were prepared from Et 7-chloro-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate in 4 steps. Dehydration of the intermediate 4-hydroxythiazolines II with (CF3CO)2O resulted in the formation of ylides. Evidence for the formation of the ylide was obtained by the x-ray anal. of the analogous ylide III, obtained in the reactions carried out with 4-pyridone.

IT 115698-10-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

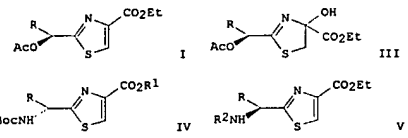
L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. and reaction of, with trifluoroacetic anhydride)

RN 115698-10-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-chloro-1-[[4-(ethoxycarbonyl)-4,5-dihydro-4-hydroxy-2-thiazolyl]methyl]-6-fluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI)
(CA INDEX NAME)



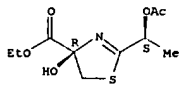
L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:22219 CAPLUS
DOCUMENT NUMBER: 108:22219
TITLE: Amino acids and peptides; 58. Synthesis of optically active 2-(1-hydroxyalkyl)thiazole-4-carboxylic acids and 2-(1-aminoalkyl)thiazole-4-carboxylic acids
AUTHOR(S): Schmidt, U.; Gleich, P.; Griesser, H.; Utz, R.
CORPORATE SOURCE: Isotopenforsch., Univ. Stuttgart, Stuttgart, D-7000/80, Fed. Rep. Ger.
SOURCE: Synthesis (1986), (12), 992-8
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:22219
GI



AB Title hydroxyalkyl compds. I (R = Me, CH2CHMe2, CHEtMe, CHMe2, CH2Ph) were prepared from the corresponding (S)-AcOCHRCONH2 (II). Thus, II were converted into thioamides (S)-AcOCHRCSNH2, which were cyclized with BrCH2COCO2Et to give thiazolines III, which were dehydrated with (CF3CO)2O to give I. Title (R)-amino acids IV (Boc = Me3CO2C; R = Me, R1 = Et; R = CH2CHMe2, R1 = Me) were prepared from the corresponding I in several steps. Title (S)-amino acids V (R = Me, CHEtMe, R2 = PhCH2O2C; R = CH2CHMe2, R2 = Boc) were prepared from (S)-R3NHCHRCSNH2 in 2 steps.
IT 111946-81-7P 111946-82-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)
RN 111946-81-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[1-(acetyloxy)ethyl]-4,5-dihydro-4-hydroxy-, ethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

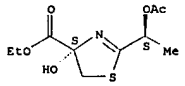
Absolute stereochemistry.

L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

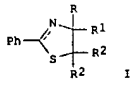


RN 111946-82-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[1-(acetyloxy)ethyl]-4,5-dihydro-4-hydroxy-, ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

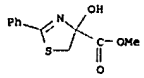
Absolute stereochemistry.



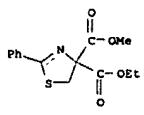
L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:121230 CAPLUS
DOCUMENT NUMBER: 86:121230
TITLE: Cyclic peroxides. 42. Direct α-lithiation of 4,5-dihydro-1,3-thiazole-4-carboxylic acids and electrophilic substitution
AUTHOR(S): Adam, Waldemar; Ehrig, Volker
CORPORATE SOURCE: Dep. Chem., Univ. Puerto Rico, Rio Piedras, P. R.
SOURCE: Synthesis (1976), (12), 817-19
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Thiazoles I (R = D, OH, Me, CH2Ph, CMe2OH, 1-hydroxycyclohexyl, CHPhOH, R1 = CO2H, R2 = H; R = D, R1 = CO2H, R2 = Me; R = D, OH, CO2Et, R1 = CO2Me, R2 = H; RR1 = C(OSiMe3)2, R2 = H; RR1 = O, R2 = Me) were obtained in 48-95% yield by treating I (R = H, R1 = CO2H, CO2Me, R2 = H, Me) with 2 equiv BuLi and electrophiles.
IT 62175-47-7P 62175-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62175-47-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-hydroxy-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)

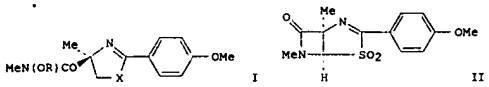


RN 62175-48-8 CAPLUS
CN 4,4(5H)-Thiazoledicarboxylic acid, 2-phenyl-, ethyl methyl ester (9CI) (CA INDEX NAME)



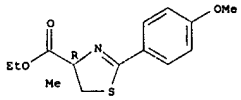
L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:462973 CAPLUS
DOCUMENT NUMBER: 85:62973
TITLE: Reactivity of peptide hydroxamates. A model for the biosynthesis of β-lactam antibiotics
AUTHOR(S): Scott, A. Ian; Yoo, Sung Eun; Chung, Sung-Kee; Lacadie, John A.
CORPORATE SOURCE: Chem. Dep., Yale Univ., New Haven, CT, USA
SOURCE: Tetrahedron Letters (1976), (15), 1137-40
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



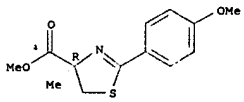
AB The sulfone I (X = SO2, R = SO2C6H4NO2-4), prepared from the hydroxamic acid I (X = S; R = H) by treatment with 4-O2NC6H4SO2Cl and Et3N followed by per acid oxidation, with 1.1 equiv KOCMe3 in THF overnight at -78° to room temperature gave .apprx.50% β-lactam II. The cyclization mimics the stereochem. fate of cysteine β-protons in the biosynthesis of β-lactam antibiotics.
IT 60297-49-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60297-49-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(4-methoxyphenyl)-4-methyl-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:564060 CAPLUS
DOCUMENT NUMBER: 83:164060
TITLE: Biogenetic-type synthesis of penicillin-cephalosporin antibiotics. II. Oxidative cyclization route to β-lactam thiazoline derivatives
AUTHOR(S): Nakatsuka, Shinichi; Tanino, Hideo; Kishi, Yoshito
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, USA
SOURCE: Journal of the American Chemical Society (1975), 97(17), 5010-12
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB An oxidative cyclization method to construct the β-lactam thiazoline ring system is reported. Using the oxidative cyclization reaction as a key step, the β-lactam thiazoline dehydrovaline I was synthesized by two different sequences of the reactions, which would present a solution of the biogenetic-type synthesis of the penicillin-cephalosporin antibiotics.
To extend the present method for synthesis of 6H-penams and 7H-cephems, a method to oxidize II to III was developed.
IT 57001-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion into amide)
RN 57001-62-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(4-methoxyphenyl)-4-methyl-, methyl ester, (R)- (9CI) (CA INDEX NAME)

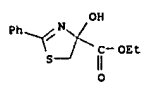
Absolute stereochemistry.



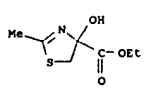
L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:492203 CAPLUS
DOCUMENT NUMBER: 79:92203
TITLE: Thiazolines
INVENTOR(S): Arakawa, Kiichi
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48048466	A2	19730709	JP 1971-82629	19711018
JP 55016145	B4	19800430		
PRIORITY APPLN. INFO.:			JP 1971-82629 A	19711018

GI For diagram(s), see printed CA Issue.
AB The title compds. (I), were prepared from amines R1CSNH2 (R1 = alkyl or aryl) and halides R2COCH2R3 (R2 = alkyl, alkoxycarbonyl, or their derivs.; R3 = halogen). I were bactericides and plant growth regulators. E.g., 1.95 g Et bromopyruvate in Me2CO was treated with 800 mg thioacetamide to give 79% I.HBr (R1 = Me, R2 = CO2Et). Among 6 more I similarly prepared were I (R1 and R2 given): Ph, CO2Et; Me, C(:NOH)Me; Me, CH2Cl; Ph, CH2Cl; Me, Me.
IT 37128-20-4P 50639-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37128-20-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-hydroxy-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



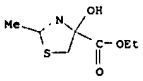
RN 50639-62-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-hydroxy-2-methyl-, ethyl ester, hydrobromide (9CI) (CA INDEX NAME)



● HBr

L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:501446 CAPLUS
DOCUMENT NUMBER: 77:101446
TITLE: Synthesis of N-free 4-hydroxy-2-thiazoline as an intermediate in the Hantzsch thiazole synthesis
AUTHOR(S): Arakawa, Kiichi; Miyasaka, Tadashi; Ohtsuka, Hiroko
CORPORATE SOURCE: Sch. Pharm. Sci., Showa Univ., Tokyo, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1972), 20(5), 1041-6
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 4-Hydroxy-2-thiazolines (I, R = Me, Ph, R1 = CH2CO2Et, Me, ClCH2, Ph, etc.) were prepared by interrupting the Hantzsch thiazole synthesis at the stage of cyclization. The chemical behavior of the tertiary amines, as well as the AB-quartet pattern at around 3.5 ppm in the NMR spectra, supported the cyclic structure I. The thioliminoester structure proposed by M. Steude (1891) was unambiguously denied by the present paper.
IT 37128-15-7P 37128-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37128-15-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-hydroxy-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 37128-20-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-4-hydroxy-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)